

PCTWORLD INTELLECTUAL PROPERTY ORGANIZATION
International Bureau

INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁷ : C07K 7/50, A61K 38/12	A2	(11) International Publication Number: WO 00/11023 (43) International Publication Date: 2 March 2000 (02.03.00)
(21) International Application Number: PCT/US99/18908 (22) International Filing Date: 18 August 1999 (18.08.99) (30) Priority Data: 60/097,228 20 August 1998 (20.08.98) US (71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US). (72) Inventors; and (75) Inventors/Applicants (for US only): BORROMEO, Peter, Stanley [US/US]; 10783 Thistle Ridge, Fishers, IN 46038 (US). COHEN, Jeffrey, Daniel [US/US]; 1411 Shawnee Road, Indianapolis, IN 46260 (US). GREGORY, George, Stuart [US/US]; 6295 Valleyview Drive, Fishers, IN 46038 (US). HENLE, Stacy, Kay [US/US]; 1220 East Polk Street, Indianapolis, IN 46202 (US). HITCHCOCK, Stephen, Andrew [GB/US]; 1484 Stormy Ridge Court, Carmel, IN 46032 (US). JUNGHEIM, Louis, Nickolaus [US/US]; 8218 Meadowbrook Drive, Indianapolis, IN 46240 (US). MAYHUGH, Daniel, Ray [US/US]; 9681 Troon Court, Carmel, IN 46032 (US). SHEPHERD, Timothy, Alan [US/US]; 8463 Slippery Elm Court, Indianapolis, IN 46227 (US). TURNER,		William, Wilson, Jr. [US/US]; 4000 Saratoga Drive, Bloomington, IN 47408 (US). (74) Agents: LEHNHARDT, Susan, K. et al.; Morrison & Foerster LLP, 1290 Avenue of the Americas, New York, NY 10104-0012 (US). (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG). Published <i>Without international search report and to be republished upon receipt of that report.</i>
(54) Title: RING MODIFIED CYCLIC PEPTIDE ANALOGS (57) Abstract <p>A method for modifying the cyclic peptide ring system of Echinocandin-type compounds to produce new analogs having antifungal activity is provided. The inventive process comprises opening the cyclic peptide ring, cleaving the terminal ornithine unit, inserting at least one new amino acid or other synthetic unit and closing the ring to produce a new cyclic peptide ring structure. The process allows one to incorporate features such as water-solubility into the cyclic peptide ring nucleus, sites for further modification, increase or decrease the number of amino acid or peptide units within the ring nucleus, and increase or decrease the total number of members within the ring. The invention further provides novel Echinocandin type compounds and their use as antifungal or anti-parasitic agents.</p>		